

بِسْمِ اللَّهِ الرَّحْمَنِ الرَّحِيمِ

Anticholinergic drugs;
Cholinergic antagonists,
Cholinergic blockers,
Cholinergic receptor blocking drugs,

By

Dr. Muhammad Sarwar

Clinical uses of antimuscarinics;

❖ CNS;

➤ Parkinsonism;

- Benzhexol, Bentrupine, Biperiden, Procyclidine, Orphenadrine.
- **suppress tremors and rigidity.**
- **Used as adjuncts to levodopa.**

➤ Acute dystonias;

- **Bentrupine** is used parenterally to treat acute dystonias caused by **antipsychotic medications.**

➤ **Motion sickness;**

- **Scopolamine** (Inj., oral, transdermal patch) is used for **Prevention of motion sickness and postoperative Nausea & Vomiting.**
 - Not effective in other forms of vomiting.

➤ **Amnesic action;**

- **Scopolamine block short term memory.**
- **Adjunct drug in anesthesia.**
- **Twilight sleep:**
 - (An **amnesic condition** characterized by insensitivity to pain without loss of consciousness) **during labor & mania** states to produce sleepiness & sedation.
 - *Hyoscine with Morphine.*

❖ **Eye;** Tropicamide, homatropine, Cyclopentolate.

➤ **Diagnostic use;**

- **Accurate measurement of refractive errors in uncooperative patients --- young children.**
 - **Anti-muscarinic drugs should never be used for mydriasis unless cycloplegia or prolonged action is required.**
 - **Tropicamide ---- a short acting drug is preferred.**
 - **In infants more potent cycloplegia is needed --- e.g., atropine, homatropine.**
- **For fundoscopy;**
 - **In elderly to avoid glaucoma, phenylephrine is preferred.**
 - **Phenylephrine (α_1 stimulant) produce a short lasting mydriasis and is sufficient for fundoscopic examination.**

➤ **Therapeutic use;**

- **Atropine gives rest to intraocular muscles and relieves painful spasm and is used in**
 - **Iritis, iridocyclitis, chorioiditis, keratitis, corneal ulcers.**
- **To prevent synechia (adhesions) formation in uveitis and iritis.**
 - Anterior / posterior synechia: alternating with miotics.

❖ Respiratory tract;

➤ Preanesthesia medication;

- Reduce bronchial & salivary secretions,
- Produce mild bronchodilation,
- Prevent vasovagal attack / cardiac arrest.

Parenteral atropine or glycopyrrolate.

➤ Bronchial asthma and COPD;

- **Ipratropium** ----- a quaternary antimuscarinic agent used by inhalation--not absorbed – no central effects.
- **Tiotropium** --- longer duration of action.
- Not as efficacious as β_2 **agonists**.
- Less likely to cause tachycardia and cardiac arrhythmia.
- Their **effectiveness is limited** because block of autoinhibitory M₂ receptors on postganglionic parasympathetic nerves can oppose the bronchodilation caused by block of M₃ receptors on airway smooth muscles.

❖ CVS;

➤ **Bradycarrhythmias (Bradycardia);**

- Used to **treat bradycarrhythmias** associated with **MI, digitalis toxicity, general anaesthetic drugs.**
- Used to **prevent bradycarrhythmias** associated **general anaesthetic drugs** and **IV cholinergic drugs (Neostigmine).**

❖ GIT and as Antispasmodic;

➤ Colicky Pain;

- Intestinal / Renal colic; Biliary colic +/-

➤ Diarrhea;

- ↓ **hyermotility in transient diarrheas.**
 - Nervous, functional, drug-induced.

➤ Irritable Bowel Syndrome or

Colonic Diverticular Disease. *Dicyclomine.*

➤ Peptic ulcer;

- **To reduce acid secretion in acid peptic disease.**
 - Pirenzepine, Telenzepine.

❖ **Genitourinary tract;** (Tolterodine, Oxybutynin solifenacin and darifenacin).

➤ **To reduce urgency in mild cystitis.**

➤ **To reduce involuntary voiding in neurological disease** e.g., children with **meningomyelocele.**

– **(Oxybutynin)** -- Oral or instillation by catheter into the bladder.

➤ **Stress incontinence;**

- **Tolterodine, solifenacin and darifenacin.**

- Tertiary amines with greater selectivity for the M₃ receptors.

➤ **Enuresis in children;**

- **Oxybutynin** (nonselective), **flavoxate, Trospium.**

- **Imipramine a TCA** --- Moderately effective in controlling incontinence ---- CNS toxic effects.

❖ Antisecretory agent;

➤ Pre-anaesthetic Medication.

➤ Peptic ulcer.

➤ Hyperhidrosis (excessive sweating);

- To check excessive sweating and salivation as in parkinsonism – **Benztropine**.
- **Hyperhidrosis** (excessive sweating) is sometimes reduced by antimuscarinic agents.
- Relief is incomplete --- Apocrine rather than eccrine glands are usually involved.

❖ Cholinergic poisoning; (Antidote for cholinergic agonists);

➤ Organophosphorus poisoning;

- Atropine Counter only muscarinic effects.
- Does not reverse nicotinic effects.
- Higher doses --- can **reverse the central effects**.
- It is given in large doses parenterally.
- 1-2 mg i/v every 5-15 minutes until signs of **atropinization** appear (dry mouth, reversal of miosis).

➤ Mushroom poisoning.

➤ Physostigmine poisoning;

- Atropine enters the CNS --- Blocks peripheral and central effects of physostigmine.

Atropine Adverse effects – (Dose dependent)

- **Anti-secretory:**

- Dry mouth, difficulty in talking & swallowing/chewing.

- **Effects on CNS;**

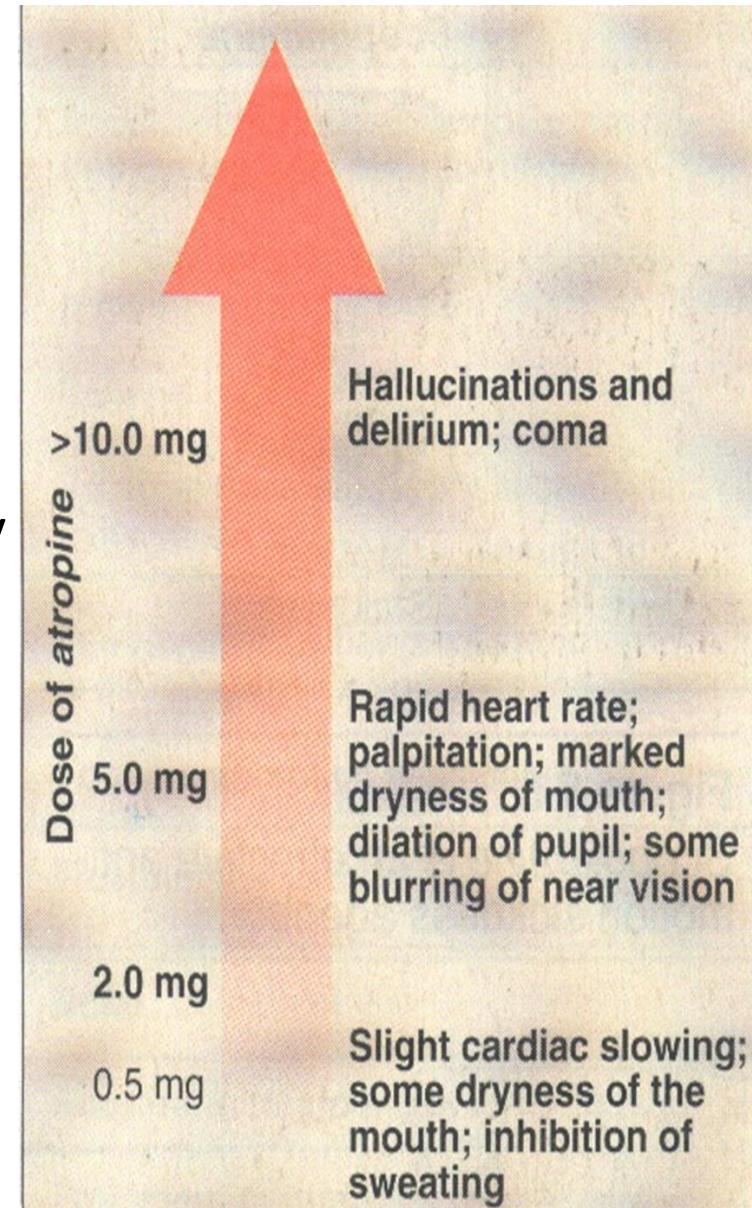
- Restlessness, disorientation, confusion, hallucinations, delirium, convulsions, coma.
- Collapse of circulatory and respiratory system.

- **Eyes:**

- Dilated pupil, photophobia, blurring of near vision, aggravation of glaucoma.

- **Skin:**

- Dry, flushed & hot skin esp. over face & neck (**Atropine fever**), scarlet rash.



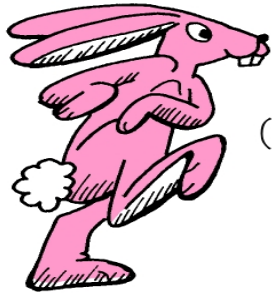
Dose dependent effects of atropine

- **CVS:**
 - Tachycardia, Palpitations, weak & rapid pulse, hypotension, circulatory collapse.
- **Urinary bladder:**
 - Difficulty in micturation, urinary retention.
- **GIT:**
 - Constipation, ↓ed bowel sounds.
- **In elderly;**
 - An exacerbation of an attack of **glaucoma** and **urinary retention**.

Atropine Toxicity is sometimes described as;

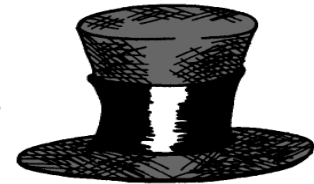
**“Dry as a bone, Red as a beet, Mad as hatter,
Hot as a hare, Blind as a bat”**

ATROPINE OVERDOSE



Hot as a Hare
(↑ temperature, ↓ sweating)

Mad as a Hatter
(confusion, delirium)



Red as a Beet
(flushed face, tachycardia)

Dry as a Bone
(decreased secretions,
thirsty)



CONTRA-INDICATIONS;

- **Glaucoma,**
- **Prostate Hypertrophy,**
- **IHD and Tachyarrhythmias,**
- **Young Children and Infants.**

A landscape photograph featuring rolling green hills in the foreground and middle ground. The foreground is dominated by a field of bright yellow flowers, likely rapeseed or mustard. The sky is a deep blue, filled with soft, white, wispy clouds. The overall scene is bright and cheerful.

Thank You